

Biopharmaceutics Assignment

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theophylline (Rx)

- **Brand Names:** Theo 24, Theochron, Elixophyllin, aminophylline, Uniphyll
- **Classes:** Xanthine Derivatives; Phosphodiesterase Enzyme Inhibitors, Nonselective.

1- Dosage Forms & Strengths

- **capsule, extended-release** (24hours)

100mg ,200mg ,300mg ,400mg

- **tablet, extended release** (12 hours)

100mg ,200mg ,300mg ,450mg

- **tablet, extended-release** (24 hours) ,400mg, 600mg

- **oral elixir** : 80mg/15mL Dosage Forms & Strengths

- **intravenous solution** : 400mg/250mL D5W , 400mg/500mL D5W , 800mg/500mL D5W

IV incompatibilities:

Additives: clindamycin, dobutamine, adrenaline, erythromycin, meperidine, morphine, noradrenaline, vancomycin, vitamins B and C.

IV Compatibilities:

Additives : calcium gluconate, dopamine, esmolol, heparin , hydrocortisone , hydroxyzine, lidocaine, nitroglycerine, pentobarbital, potassium chloride, sodium bicarbonate, verapamil.

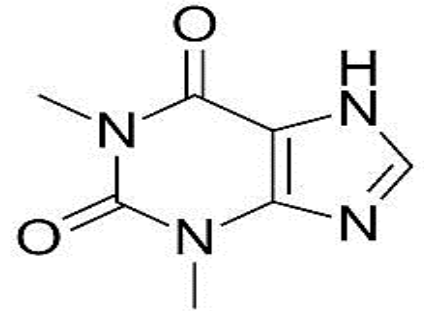
2- main uses

1- **Acute Bronchospasm Loading:** Patients not currently taking theophylline: 5-7 mg/kg IV/PO; not to exceed 25 mg/min IV

2- **Treatment of asthma and acute COPD** exacerbations with aminophylline is not recommended by current clinical practice guidelines.

3- Mechanism of Action:

Theophylline relaxes smooth muscles of respiratory tract and suppresses the response of the airways to stimuli May increase tissue concentration of cyclic adenosine monophosphate (cAMP) by inhibiting 2 isoenzymes of phosphodiesterase (PDE III and, to a lesser extent, PDE IV), which ultimately induces release of epinephrine from the adrenal medulla cells.





4- Contraindications:

Hypersensitivity.

5- Absorption

- **Theophylline is a hydrophilic weak base** that crosses the cell membrane (**active transport**).

Bioavailability: 77% (PO; neither food nor antacid alters bioavailability)

Onset: Variable

Duration: Variable

Peak plasma time: 1-2 hr

Peak plasma concentration: 10 mcg/mL

6- Distribution

Protein bound: 40-55%

vd = 0.3-0.7 L/kg.

7- Metabolism :

Metabolized in liver by CYP1A2 and CYP3A4

Metabolites: 1,3-Dimethyluric acid, 1-methyluric acid, 3-methylxanthine

CYTOCHROME P-450			
ENZYME	SUBSTRATES	INHIBITORS	INDUCERS
CYP1A2	Acetaminophen Caffeine Clomipramine Imipramine Nortriptyline Ondansetron Phenacetin Tacrine	Atazanavir Cimetidine Ciprofloxacin Enoxacin Erythromycin Fluvoxamine Interferon Mexiletine	Barbiturates Carbamazepine Charcoal-broiled meat Omeprazole Phenobarbital Primidone Rifampin Tobacco/Marijuana
Smoke	Theophylline (R)-Warfarin Zileuton	Tacrine Zileuton	

8- Elimination

Half-life: Nonsmoker, 8 hr; smoker, 4-5 hr

Total body clearance: 1.45 mL/min/kg

Excretion: Urine (secretion and filtration).